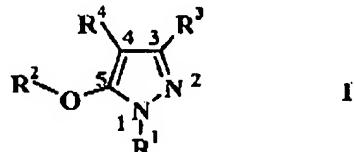


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## **CURRENT LISTING OF CLAIMS**

AUG 30 2006

**1. (original) A compound according to formula I**

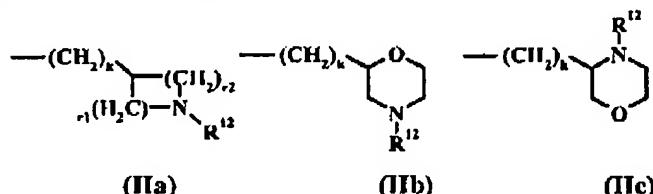


wherein

$R^1$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-6}$ haloalkyl,  $C_{3-6}$ alkenyl,  $C_{3-6}$ alkynyl,  $C_{3-7}$  cycloalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl, phenyl and benzyl, wherein, said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of  $C_{1-6}$ alkyl,  $C_1$  haloalkyl,  $C_{1-6}$ alkoxy,  $C_1$  haloalkoxy,  $C_1$  alkylthio, nitro, halogen and cyano;

$R^2$  is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-6}$ alkyl,  $C_{1-6}$ alkoxy,  $C_{1-6}$ alkoxycarbonyl, and  $CONR^6R^7$ ;

$R^3$  is substituted C<sub>1-6</sub>alkyl, substituted C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, substituted C<sub>3-7</sub>alkenyl, C<sub>3-7</sub>cycloalkyl, optionally substituted C<sub>1-3</sub>alkoxy,  $(CH_2)_nR^5$ , ClI(OH)R<sup>5</sup>,  $-(CH_2)_n-O-$   $(CH_2)_nR^5$ , NR<sup>6</sup>R<sup>7</sup>, C(=Y)Z, -X(C=Y)Z or IIa-c;



wherein.

said alkyl, said C<sub>1-11</sub> alkoxy-C<sub>1-3</sub> alkyl and said alkenyl are substituted by -OII.

-NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, CN, -S(O)<sub>n</sub>-C<sub>1-5</sub>alkyl; -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, -

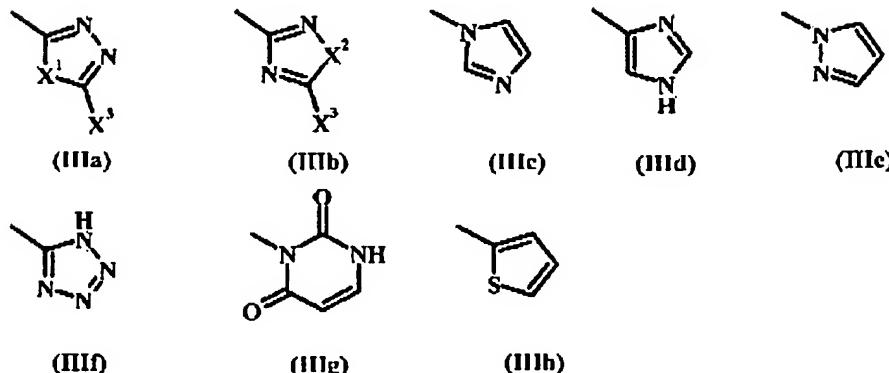
$\text{SO}_2\text{NHNH}_2$  or

-NR<sup>6</sup>SO<sub>2</sub>-C<sub>1-6</sub> alkyl;

said alkoxy is optionally substituted by -OH, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, -S(O)<sub>q</sub>-C<sub>1-6</sub>alkyl, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup> or -SO<sub>2</sub>NHNH<sub>2</sub>;

$R^{12}$  is hydrogen,  $C_{1-6}$ alkyl or  $-C(=Y)Z$ ;

$R^3$  is a phenyl or a heteroaryl ring according to formula IIIa-IIIh;



wherein

$X^1$  is selected from the group consisting of  $-R^{10}C=CR^{10a}$ ,  $-O^-$ ,  $-S^-$ ,  $-NR^6$  and  $-CHR^6$ ;

$X^2$  is selected from the group consisting of  $-R^{10}C=CR^{10a}$ ,  $-O^-$ ,  $-S^-$ , and  $-CHR^6$ ;

$X^3$  is selected from the group consisting of hydrogen, hydroxyl and thiol;

$R^{10}$  and  $R^{10a}$  are independently selected from the group consisting of hydrogen or C<sub>1-6</sub> alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, C<sub>1-6</sub>alkoxy, thiol, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub>alkylsulfonyl, halogen, amino, C<sub>1-6</sub>alkylamino, C<sub>1-3</sub>dialkylamino, amino-C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkylamino-C<sub>1-3</sub>alkyl, and C<sub>1-3</sub>dialkylamino-C<sub>1-3</sub>alkyl;

said phenyl and said heteroaryl ring optionally substituted with halo,  $-OR^6$ ,  $-NR^6R^7$ ,

$-C(=O)Z$ ,  $-X(C=O)Z$

$R^4$  is C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl,  $-(CH_2)_nR^{11}$  or  $-(CH_2)_n-O-(CH_2)_pR^{11}$ ;

wherein,

said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by

$-OH$ ,

$-OR^6$ ,  $-NR^6R^9$ ,  $-C(=Y)Z$ ,  $-X(C=Y)Z$ ,  $-S(O)_q-C_{1-6}$ alkyl,  $-SO_2NR^6R^7$  or  $-SO_2NHNIIZ$ ;

$R^{11}$  is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-3}$  alkyl,  $C_{1-3}$  haloalkyl and  $C_{1-3}$  alkoxy; or  $R^{11}$  is  $N[(CH_2)_2]_2W$  wherein  $W$  is selected from the group consisting of  $NR^6$ ,  $(CH_2)_n$ ,  $N(C=O)Z$ ,  $CHOR^6$ ,  $CHR^6$ ,  $CHNIIC(=O)Z$  and  $CHNR^6R^7$ ;

$n$ ,  $o$ ,  $p$  and  $q$  are as defined below and  $s$  is 0 or 1;

$R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  (i) taken independently are selected from the group consisting of hydrogen,  $C_{1-6}$ alkyl,  $C_{1-6}$ hydroxyalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl  $C_{1-3}$ alkylamino- $C_{1-3}$ alkyl and  $C_{1-3}$ dialkylamino- $C_{1-3}$ alkyl or (ii) when both  $R^6$  and  $R^7$  are attached to the same nitrogen atom they may be taken together, along with the nitrogen, to form a pyrrolidine, piperidine, piperazine or morpholine;

$X$ , and  $Y$  are independently  $O$  or  $NR^6$ ;

$Z$  is hydrogen, hydroxyl,  $C_{1-6}$ alkoxy,  $NR^6R^{13}$ ,  $C_{1-6}$ alkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl wherein  $R^{13}$  is  $R^7$  or phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-3}$ alkyl,  $C_{1-3}$ haloalkyl and  $C_{1-3}$ alkoxy;

$n$  is 0 to 3;

$o$  and  $p$  are independently 0 to 4 and  $o + p \leq 5$ ;

$q$  is 0 to 2;

$k$ ,  $r1$  and  $r2$  are independently 0 to 4, and  $5 \geq (r1 + r2) \geq 2$ ; and,

acid addition salts, hydrates and solvates thereto; with the proviso that when  $R^4$  is  $-(CH_2)_nR^{11}$ ,  $n$  is 1 and  $R^{11}$  is substituted phenyl,  $R^2$  is other than unsubstituted phenyl.

**2. (original)** A compound according to claim 1 wherein:

$R^1$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-6}$ haloalkyl,  $C_{1-7}$ cycloalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl and optionally-substituted phenyl;

$R^2$  is optionally substituted phenyl; and,

$R^4$  is  $C_{1-6}$ alkyl,  $C_{3-7}$ cycloalkyl,  $(CH_2)_nR^{11}$  or  $-(CH_2)_o-O-(CH_2)_pR^{11}$ ; wherein, said alkyl and said cycloalkyl are optionally substituted by -OH, -OR<sup>6</sup>, -NR<sup>8</sup>R<sup>9</sup>, -C(=Y)Z, or -X(C=Y)Z;

$R^{11}$  is a phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-3}$ alkyl,  $C_{1-3}$ haloalkyl and  $C_{1-3}$ alkoxy.

3. (original) A compound according to claim 2 wherein R<sup>3</sup> is substituted C<sub>1-6</sub> alkyl, IIa-c or -(CH<sub>2</sub>)<sub>n</sub>R<sup>5</sup> wherein R<sup>5</sup> is IIIa-IIIh.

4. (original) A compound according to claim 2 wherein R<sup>3</sup> is -(CH<sub>2</sub>)<sub>n</sub>NR<sup>6</sup>R<sup>7</sup>, -(CH<sub>2</sub>)<sub>n</sub>C(=O)Z or -(CH<sub>2</sub>)<sub>n</sub>XC(=O)Z.

5. (original) A compound according to claim 1 wherein:

R<sup>1</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>haloalkyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl and optionally substituted phenyl;

R<sup>2</sup> is optionally substituted phenyl; and,

R<sup>4</sup> is C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup> or -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>p</sub>R<sup>11</sup>; wherein, said alkyl and said cycloalkyl are optionally substituted by -OH, -OR<sup>6</sup>, -NR<sup>8</sup>R<sup>9</sup>, -C(=Y)Z, -X(C=Y)Z;

R<sup>11</sup> is a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>haloalkyl and C<sub>1-3</sub>alkoxy.

6. (original) A compound according to claim 5 wherein R<sup>3</sup> is substituted C<sub>1-6</sub> alkyl, IIa-c or -(CH<sub>2</sub>)<sub>n</sub>R<sup>5</sup> wherein R<sup>5</sup> is IIIa-IIIb.

7. (original) A compound according to claim 5 wherein R<sup>3</sup> is (CH<sub>2</sub>)<sub>n</sub>NR<sup>6</sup>R<sup>7</sup>, (CH<sub>2</sub>)<sub>n</sub>C(=O)Z, or -(CH<sub>2</sub>)<sub>n</sub>XC(=O)Z.

8. (original) A compound according to claim 1 wherein:

R<sup>1</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>haloalkyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl and optionally substituted phenyl;

R<sup>2</sup> is optionally substituted phenyl; and,

R<sup>4</sup> is C<sub>1-6</sub>alkyl, C<sub>3-7</sub>cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup> or -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>p</sub>R<sup>11</sup>; wherein,

said alkyl and said cycloalkyl are optionally substituted by -OH, -OR<sup>6</sup>, -NR<sup>8</sup>R<sup>9</sup>, -C(=Y)Z, -X(C=Y)Z;

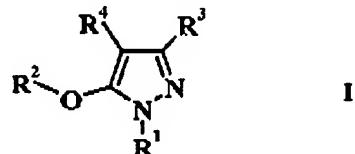
R<sup>11</sup> is N[(CH<sub>2</sub>)<sub>2</sub>]<sub>2</sub>W wherein W is selected from the group consisting of NR<sup>6</sup>, (CHI<sub>2</sub>)<sub>n</sub> and N(C=O)Z, CHOR<sup>6</sup>, CHR<sup>6</sup>CHNHC(=O)Z and CHNR<sup>6</sup>R<sup>7</sup>.

**9. (original)** A compound according to claim 8 wherein R<sup>3</sup> is substituted C<sub>1-6</sub> alkyl, IIa-c or (CH<sub>2</sub>)<sub>n</sub>R<sup>5</sup> wherein R<sup>5</sup> is IIIa-IIIb.

**10. (original)** A compound according to claim 8 wherein R<sup>3</sup> is -(CH<sub>2</sub>)<sub>n</sub>NR<sup>6</sup>R<sup>7</sup>, -(CH<sub>2</sub>)<sub>n</sub>C(=O)Z or -(CH<sub>2</sub>)<sub>n</sub>XC(=O)Z.

**11 - 16 (cancelled).**

**17. (original)** A pharmaceutical composition comprising a therapeutically effective quantity of a compound of formula I



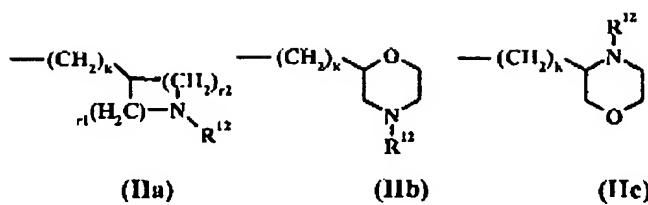
wherein

R<sup>1</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub>haloalkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl,

C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, phenyl and benzyl, wherein, said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>haloalkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>haloalkoxy, C<sub>1-6</sub>alkylthio, nitro, halogen and cyano;

R<sup>2</sup> is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxycarbonyl, and CONR<sup>6</sup>R<sup>7</sup>;

R<sup>3</sup> is substituted C<sub>1-6</sub> alkyl, substituted C<sub>1-3</sub>alkoxy-C<sub>1-3</sub> alkyl, substituted C<sub>3-6</sub>alkenyl, C<sub>3-7</sub>cycloalkyl, optionally substituted C<sub>1-6</sub>alkoxy, -(CH<sub>2</sub>)<sub>n</sub>R<sup>5</sup>, -CH(OH)R<sup>5</sup>, -(CH<sub>2</sub>)<sub>n</sub>O-(CHI<sub>2</sub>)<sub>n</sub>R<sup>5</sup>, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z or IIa-c;



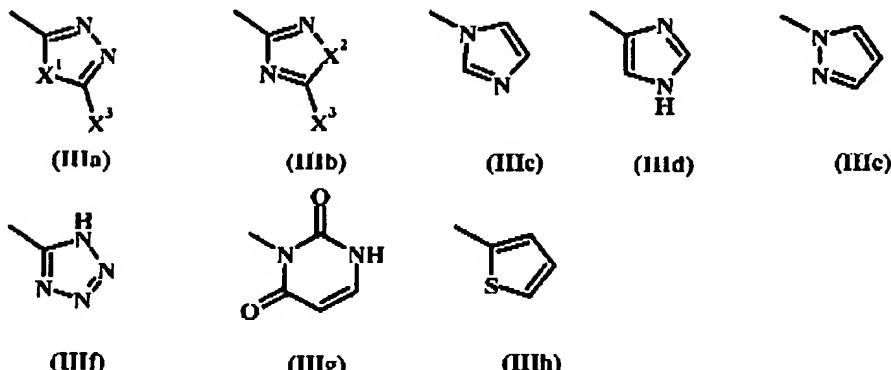
wherein,

said alkyl, said C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl and said alkynyl are substituted by -OH, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, CN, -S(O)<sub>n</sub>-C<sub>1-6</sub>alkyl, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, -SO<sub>2</sub>NHNH<sub>2</sub>, or -NR<sup>6</sup>SO<sub>2</sub>-C<sub>1-6</sub>alkyl;

said alkoxy is optionally substituted by -OH, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, -S(O)<sub>a</sub>-C<sub>1-6</sub>alkyl; -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup> or -SO<sub>2</sub>NHNH<sub>2</sub>;

$R^{12}$  is hydrogen,  $C_{1-4}$ -alkyl or  $-C(=Y)Z$ ;

$R^3$  is a phenyl or a heteroaryl ring according to formula IIIa-IIIh;



wherein

$X^1$  is selected from the group consisting of  $R^{10}C=CR^{10a}$ , -O-, -S-, -NR<sup>6</sup>- and -CHR<sup>6</sup>;

$X^2$  is selected from the group consisting of  $R^{10}C=CR^{10_3}$ , -O-, -S-, and  $-CHR^6$ .

$X^3$  is selected from the group consisting of hydrogen, hydroxyl and thiol;

$R^{10}$  and  $R^{10a}$  are independently selected from the group consisting of hydrogen or  $C_{1-6}$  alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy,  $C_{1-6}$  alkoxy, thiol,  $C_{1-6}$  alkylthio,  $C_{1-6}$  alkylsulfinyl,  $C_{1-6}$  alkylsulfonyl, halogen, amino,  $C_{1-6}$  alkylamino,  $C_{1-3}$  dialkylamino, amino- $C_{1-3}$  alkyl,  $C_{1-3}$  alkylamino- $C_{1-3}$  alkyl, and  $C_{1-3}$  dialkylamino- $C_{1-3}$  alkyl;

said phenyl and said heteroaryl ring optionally substituted with halo, -OR<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -C(=O)Z, -X(C=O)Z

R<sup>4</sup> is C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, -(CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup>

or

-(CH<sub>2</sub>)<sub>o</sub>-O-(CH<sub>2</sub>)<sub>p</sub>R<sup>11</sup>; wherein,

said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by -OH, -OR<sup>6</sup>, -NR<sup>6</sup>R<sup>9</sup>, -C(=Y)Z, -X(C=Y)Z, -S(O)<sub>q</sub>-C<sub>1-6</sub>alkyl, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup> or -SO<sub>2</sub>NH<sub>2</sub>;

R<sup>11</sup> is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>haloalkyl and C<sub>1-3</sub>alkoxy; or R<sup>11</sup> is N[(CH<sub>2</sub>)<sub>2</sub>]<sub>2</sub>W wherein W is selected from the group consisting of NR<sup>6</sup>, (CH<sub>2</sub>)<sub>s</sub>,

-N(C=O)Z, CH<sub>2</sub>OR<sup>6</sup>, CH<sub>2</sub>CHR<sup>6</sup>CH(NHC(=O)Z and CH<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>;

n, o, p and q are as defined below and s is 0 or 1;

R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup> (i) taken independently are hydrogen, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>hydroxyalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl C<sub>1-3</sub>alkylamino-C<sub>1-3</sub>alkyl or C<sub>1-3</sub>dialkylamino-C<sub>1-3</sub>alkyl or (ii) when both R<sup>6</sup> and R<sup>7</sup> are attached to the same nitrogen atom they may be taken together, along with the nitrogen, to form a pyrrolidine, piperidine, piperazine or morpholine;

X, and Y are independently O or NR<sup>6</sup>;

Z is hydrogen, hydroxyl, C<sub>1-6</sub>alkoxy, NR<sup>6</sup>R<sup>13</sup>, C<sub>1-6</sub>alkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl wherein R<sup>13</sup> is R<sup>7</sup> or phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>haloalkyl and C<sub>1-3</sub>alkoxy; n is 0 to 3;

o and p are independently 0 to 4 and o + p ≤ 5;

q is 0 to 2;

k, r1 and r2 are independently 0 to 4, and 5 ≥ (r1 + r2) ≥ 2; and,

acid addition salts, hydrates and acid addition salts, hydrates and solvates thereof, with the proviso that when R<sup>4</sup> is (CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup>, n is 1 and R<sup>11</sup> is substituted phenyl, R<sup>2</sup> is other than unsubstituted phenyl, in admixture with at least one pharmaceutically acceptable carrier or diluent sufficient upon administration in a single or multiple dose regimen for treating diseases mediated by human immunodeficiency virus or for inhibiting HIV.

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